**PCT** WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
**C07D 487/04, A61K 31/42, C07D 471/04, 491/044, 491/052, 487/10 // (C07D 471/04, 221:00, 221:00) (C07D 487/04, 209:00, 205:00) (C07D 491/044, 305:00, 209:00) (C07D 491/052, 311:00, 221:00) (C07D 487/10, 209:00, 209:00) (C07D 487/04, 209:00, 209:00)**

**A1**

(11) International Publication Number: **WO 96/35691**

(43) International Publication Date: 14 November 1996 (14.11.96)

(21) International Application Number: PCT/US96/05202

(22) International Filing Date: 18 April 1996 (18.04.96)

(30) Priority Data:
08/438,705 11 May 1995 (11.05.95) US

(60) Parent Application or Grant
(63) Related by Continuation
US 08/438,705 (CIP)
Filed on 11 May 1995 (11.05.95)

(71) Applicant *(for all designated States except US)*: PHARMACIA & UPJOHN COMPANY [US/US]; 301 Henrietta Street, Kalamazoo, MI 49001 (US).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: BARBACHYN, Michael, Robert [US/US]; 1216 Miles Avenue, Kalamazoo, MI 49001 (US). BRICKNER, Steven, J. [US/US]; 9 Fargo Drive, Ledyard, CT 06339 (US). HUTCHINSON, Douglas, K. [US/US]; 5641 Whitmore Drive, Kalamazoo, MI 49001 (US).

(74) Agent: CORNEGLIO, Donald, L.; The Upjohn Company, Corporate Intellectual Property Law, 301 Henrietta Street, Kalamazoo, MI 49001 (US).

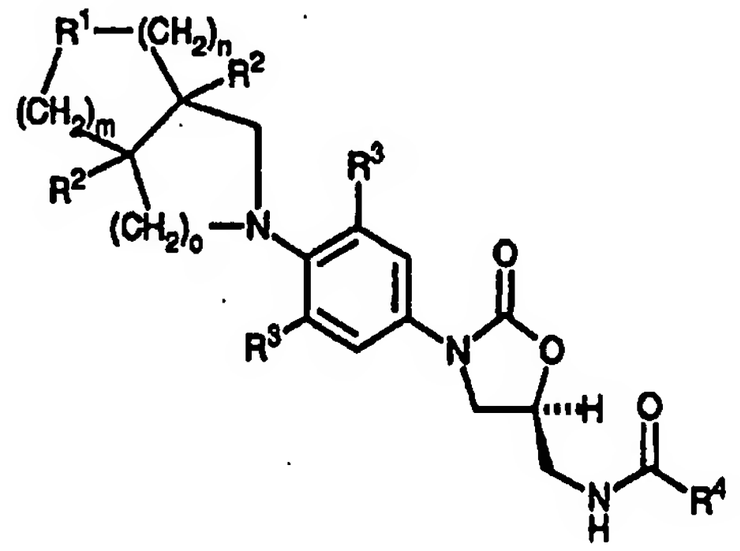
(81) Designated States: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

**Published**
*With international search report.*

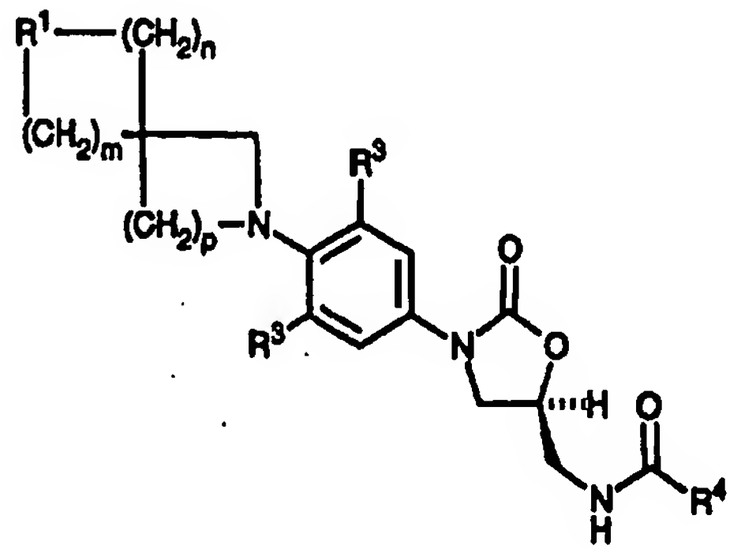
(54) Title: SPIROCYCLIC AND BICYCLIC DIAZINYL AND CARBAZINYL OXAZOLIDINONES

(57) Abstract

A compound of structural Formula (I or II) useful for treating microbial infections in humans or other warm-blooded animals, or pharmaceutically acceptable salts thereof as defined herein.



(I)



(II)

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
1 November 2001 (01.11.2001)

PCT

(10) International Publication Number
WO 01/81350 A1

(51) International Patent Classification[7]: C07D 491/10, 413/14, A61K 31/42, A61P 31/04 // (C07D 491/10, 317:00, 221:00)

(21) International Application Number: PCT/GB01/01815

(22) International Filing Date: 23 April 2001 (23.04.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0009803.8 25 April 2000 (25.04.2000) GB

(71) Applicant *(for all designated States except MG, US)*: **ASTRAZENECA AB** [SE/SE]; S-151 85 Södertälje (SE).

(71) Applicant *(for MG only)*: **ASTRAZENECA UK LIMITED** [GB/GB]; 15 Stanhope Gate, London W1Y 6LN (GB).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **GRAVESTOCK, Michael, Barry** [GB/US]; 35 Gatehouse Drive, Waltham, MA 02451 (US). **BETTS, Michael, John** [GB/GB]; Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). **GRIFFIN, David, Alan** [GB/GB]; Fernhurst, Haselmere, Surrey GU27 3JE (GB). **MATTHEWS, Ian, Richard** [GB/GB]; Fernhurst, Haselmere, Surrey GU27 3JE (GB).

(74) Agent: **BERRY, Ian, Gordon**; Astrazeneca, Global Intellectual Property, P.O. Box 272, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4GR (GB).

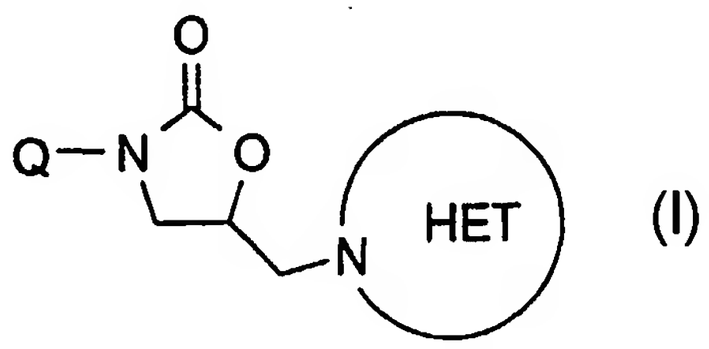
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

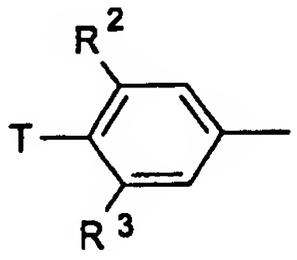
**Published:**
— *with international search report*

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

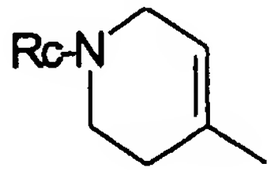
(54) Title: OXAZOLIDINONE DERIVATIVES WITH ANTIBIOTIC ACTIVITY



(I)



(Q1)



(TC5)

(57) Abstract: Compounds of formula (I), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolysable ester thereof, wherein HET is an N-linked 5-membered heteroaryl ring, optionally substituted on a C atom by an oxo or thioxo group; and/or by 1 or 2(1-4C) alkyl groups; and/or on an available nitrogen atom by (1-4C)alkyl; or HET is an N-linked 6-membered heteroaryl ring containing up to three nitrogen heteroatoms in total, optionally substituted on a C atom as above; Q is selected from, for example, (Q1), $R^2$ and $R^3$ are independently hydrogen or fluoro; T is selected from a range of groups, for example, of formula (TC5), wherein Rc is, for example, $R^{13}CO$-, $R^{13}SO_2$- or $R^{13}CS$-; wherein $R^{13}$ is, for example, optionally substituted (1-10C)alkyl or $R^{14}C(O)O$(1-6C)alkyl wherein $R^{14}$ is optionally substituted (1-10C)alkyl; are useful as antibacterial agents; and processes for their manufacture and pharmaceutical compositions containing them are described.

WO 01/81350 A1

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
13 December 2001 (13.12.2001)

PCT

(10) International Publication Number
WO 01/94342 A1

(51) International Patent Classification[7]: C07D 413/14, 413/08

(21) International Application Number: PCT/KR01/00821

(22) International Filing Date: 18 May 2001 (18.05.2001)

(25) Filing Language: Korean

(26) Publication Language: English

(30) Priority Data:

| | | |
|---|---|---|
| 2000/30895 | 5 June 2000 (05.06.2000) | KR |
| 2000/30896 | 5 June 2000 (05.06.2000) | KR |
| 2000/56035 | 23 September 2000 (23.09.2000) | KR |
| 2001/11691 | 7 March 2001 (07.03.2001) | KR |

(71) Applicant *(for all designated States except US)*: **DONG A PHARM. CO., LTD.** [KR/KR]; 252 Yongdoo-dong, Dongdaemoon-ku, Seoul 130-070 (KR).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **LEE, Jae-Gul** [KR/KR]; #102-701 Hankook 1 Cha Apt., Maetan 2-dong, Paldal-ku, Suwon-si, Kyunggi-do 442-372 (KR). **LEEM, Won-Bin** [KR/KR]; #103-1708 Daewoo Apt., Sangha-ri, Kusung-myeon, Yongin-si, Kyunggi-do 449-910 (KR). **CHO, Jong-Hwan** [KR/KR]; #A-110 Shinsung Apt., Kugal-ri, Kiheung-eup, Yongin-si, Kyunggi-do 449-903 (KR). **CHOI, Sung-Hak** [KR/KR]; #602-808 Kumho Apt., Yangimaeul, Sunae-dong, Pundang-ku, Sungnam-si, Kyunggi-do 463-020 (KR). **LEE, Jong-Jin** [KR/KR]; #812-1005 Hyundai Apt., Shinjungmaeul Suji 2-jikoo, Poongdukchun-ri, Suji-eup, Yongin-si, Kyunggi-do 449-840 (KR). **PARK, Sang-Kuk** [KR/KR]; #522-803 Shinsung Apt., Shinnamoosil Yongtong-dong, Paldal-ku, Suwon-si, Kyunggi-do 442-470 (KR). **LEE, Tae-Hoo** [KR/KR]; #206-1102 Jookong 2 cha Apt., 502-1, Kim-ryangjang-dong, Yongin-si, Kyunggi-do 449-020 (KR). **KIM, Dong-Goo** [KR/KR]; #2-508 Seadae Apt., Wooman 2-dong, Paldal-ku, Suwon-si, Kyunggi-do 442-192 (KR). **SUNG, Hyun-Jung** [KR/KR]; #402 Sanghwa, 436-18, Younghwa-dong, Jangan-ku, Suwon-si, Kyunggi-do 440-050 (KR).

(74) Agent: **LEE, Won-Hee**; 8th Fl., Sung-ji Heights II, 642-16 Yoksam-dong Kangnam-ku, Seoul 135-080 (KR).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

**Published:**
— *with international search report*



WO 01/94342 A1

(54) Title: NOVEL OXAZOLIDINONE DERIVATIVES AND A PROCESS FOR THE PREPARATION THEREOF

(57) Abstract: The present invention relates to novel oxazolidinone derivatives, their pharmaceutically acceptable salts and a process for the preparation thereof. More particularly, the present invention relates to oxazolidinone derivatives having pyridine or pyrimidine moeity substituted by heterocycle and heteroaromaticcycle at 4-position of phenyl ring. The compounds of the present invention have wide antibacterial spectrum, superior antibacterial activity and low toxicity, such that the compound of this invention can be used as an antibacterial agent.

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
24 January 2002 (24.01.2002)

PCT

(10) International Publication Number
WO 02/06278 A1

(51) International Patent Classification[7]: C07D 413/14, 413/12, A61K 31/42

(21) International Application Number: PCT/IB01/01262

(22) International Filing Date: 16 July 2001 (16.07.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
654/DEL/2000 17 July 2000 (17.07.2000) IN

(71) Applicant *(for all designated States except US)*: **RANBAXY LABORATORIES LIMITED** [IN/IN]; 19 Nehru Place, New Delhi 110 019 (IN).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **MEHTA, Anita** [IN/IN]; L-19/3, Phase II, DLF Qutab Enclave, Gurgaon 122001, Haryana (IN). **ARORA, Sudershan, K.** [US/IN]; B-5/5, Phase - I, DLF Qutab Enclave, Gurgaon 122002 (IN). **DAS, Biswajit** [IN/IN]; 448, Mandakini Enclave, Alaknanda, Kalkaji, New Delhi 110 019, Maharashtra (IN). **RAY, Abhijit** [IN/IN]; C-380, Sarita Vihar, New Delhi 110 044, Maharashtra (IN). **RUDRA, Sonali** [IN/IN]; M-7, Kalkaji, New Delhi 110 019, Maharashtra (IN). **RATTAN, Ashok** [IN/IN]; B-481, Sarita Vihar, New Delhi 110 044, Maharashtra (IN).

(74) Common Representative: **DESHMUKH, Jayadeep, R.**; Ranbaxy Laboratories Limited, 600 College Road East, Suite 2100, Princeton, NJ 08540 (US).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

**Published:**
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

WO 02/06278 A1

(54) Title: OXAZOLIDINONE DERIVATIVES AS ANTIMICROBIALS

(57) Abstract: The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharma-ceutical compositions containing the compounds of the present invention as anti-microbials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp.